

L35 ANSWER 63 OF 272 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:45035 HCAPLUS Full-text
DOCUMENT NUMBER: 134:86549
TITLE: Preparation of cyclic peptides for use as inhibitors
of integrin $\alpha v \beta 6$
INVENTOR(S): Jonczyk, Alfred; Diefenbach, Beate; Goodman, Simon
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19933173	A1	20010118	DE 1999-19933173	19990715
CA 2379022	AA	20010125	CA 2000-2379022	20000703
WO 2001005810	A2	20010125	WO 2000-EP6188	20000703
WO 2001005810	A3	20010517		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000012418	A	20020326	BR 2000-12418	20000703
EP 1196433	A2	20020417	EP 2000-943971	20000703

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JP 2003505395	T2	20030212	JP 2001-511467	20000703
AU 772782	B2	20040506	AU 2000-58236	20000703
TW 226890	B1	20050121	TW 2000-89113997	20000713
NO 2002000176	A	20020114	NO 2002-176	20020114
ZA 2002001275	A	20030822	ZA 2002-1275	20020214

PRIORITY APPLN. INFO.: DE 1999-19933173 A 19990715
WO 2000-EP6188 W 20000703

OTHER SOURCE(S): MARPAT 134:86549

AB Title compds. cyclo(Arg-X1-Asp-X2-X3-X4-X5-X6-R1) [(I); X1 = Ser, Gly, Thr; X2 = Leu, Ile, Nle, Val, Phe; X3 = Asp, Glu, Lys, Phe; X4 = Gly, Ala, Ser; X5 = Leu, Ile, Nle, Val, Phe; X6 = Arg, Har, Lys; R1 = absent, one or more ω-amino-carboxy acid residues; all amino acids may be either D- or L-configuration] were prepared using solid-phase peptide synthesis and tested for activity as integrin αvβ6 inhibitors for therapeutic use. Thus thirty-three I compds. were synthesized on chlorotrityl- polystyrol resin and tested for their binding capacities with the αvβ6 fibronectin receptor. Q-values for the tests (Q = IC50 I/IC50 reference peptide) (reference peptide = Ac-Arg-Thr-Asp-Leu-Asp-Ser-Leu-Arg- NH2; 75 nM) ranged from 233 to 0.014.

IT 317366-58-8P 317366-61-3P 317366-62-4P
317366-63-5P 317366-64-6P 317366-66-8P
317366-67-9P 317366-73-7P 317366-74-8P
317366-75-9P

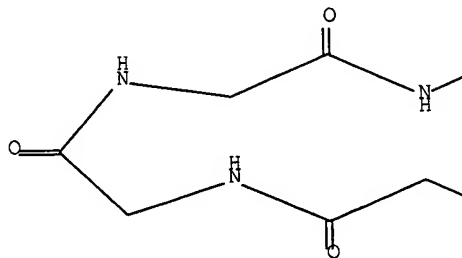
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic peptides for use as inhibitors of integrin αvβ6 in treatment of)

RN 317366-58-8 HCAPLUS

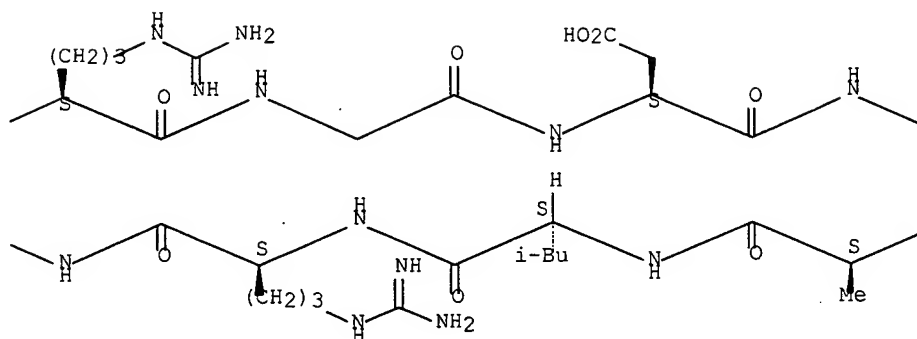
CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L-α-aspartyl-L-leucyl-D-α-aspartyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

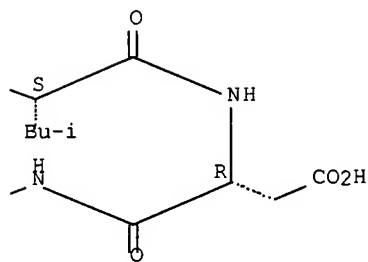
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PAGE 1-C

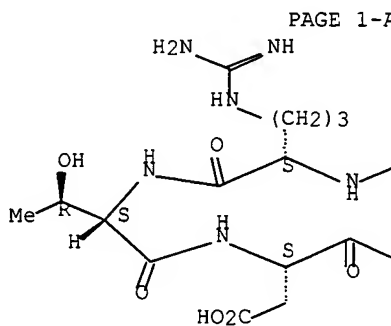


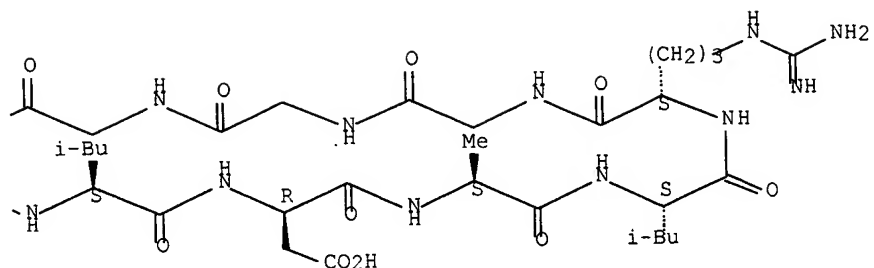
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α-aspartyl-L-leucyl-D-α-aspartyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

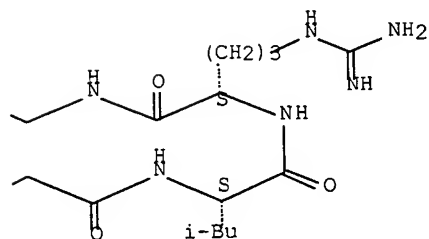
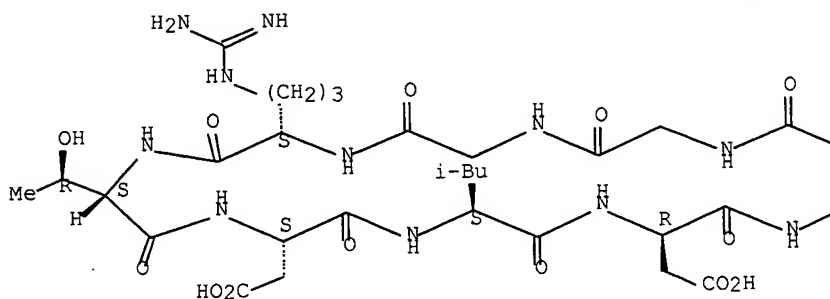




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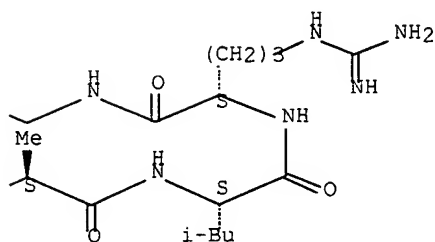
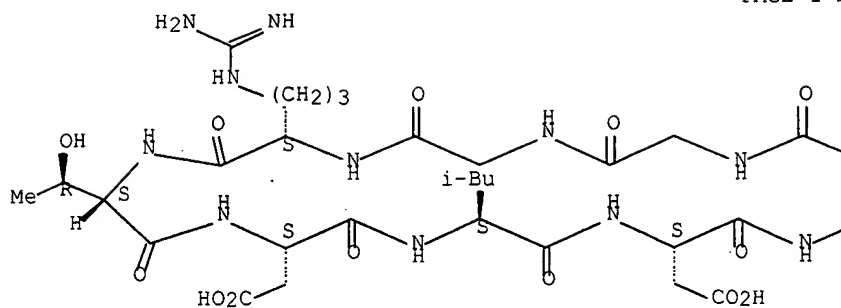
Absolute stereochemistry.



RN 317366-63-5 HCAPLUS

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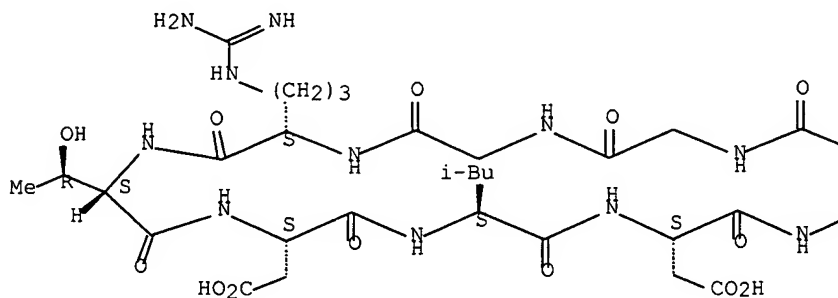
Absolute stereochemistry.

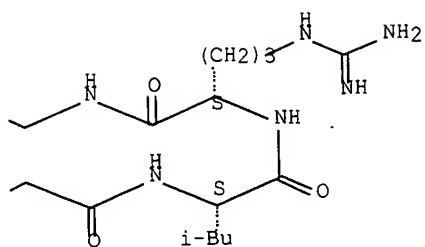


RN 317366-64-6 HCAPLUS

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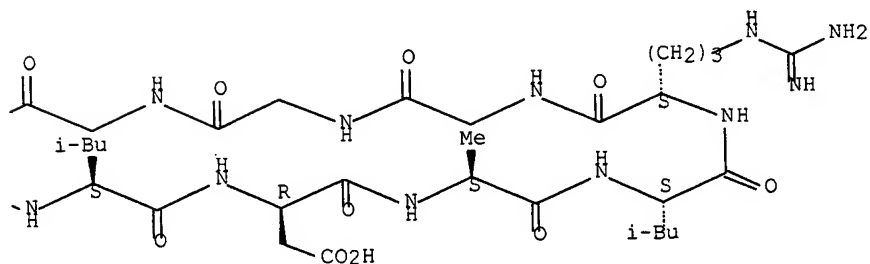
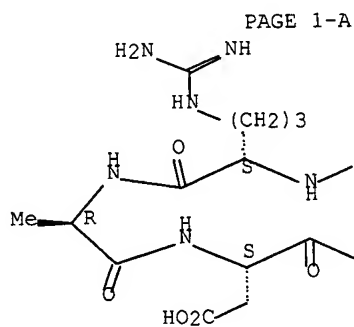
Absolute stereochemistry.





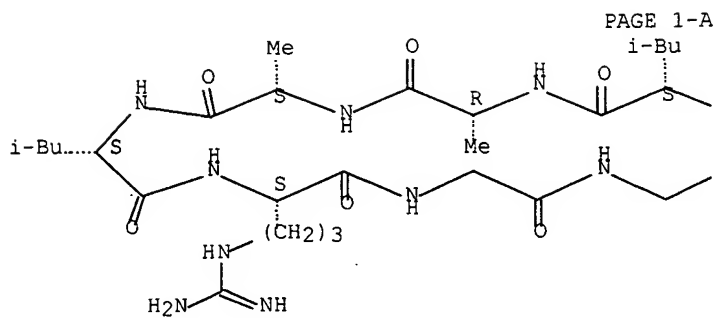
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Absolute stereochemistry.

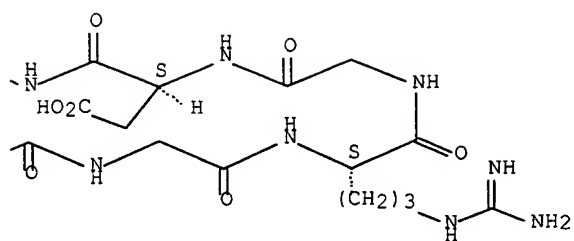


RN 317366-67-9 HCAPLUS
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Absolute stereochemistry.



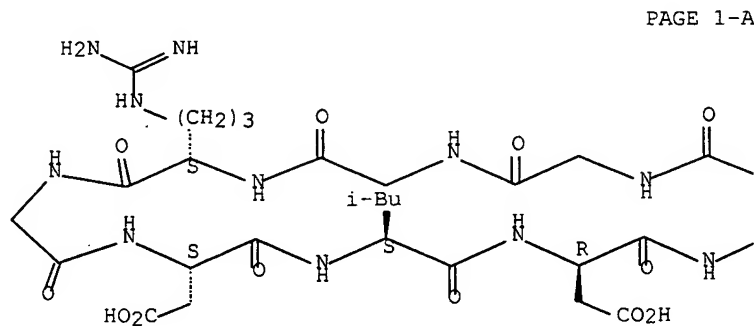
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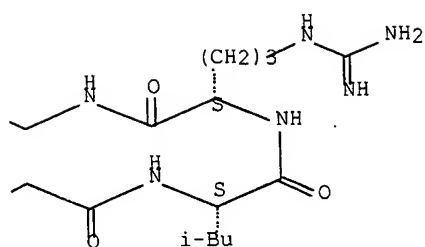


RN 317366-73-7 HCAPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

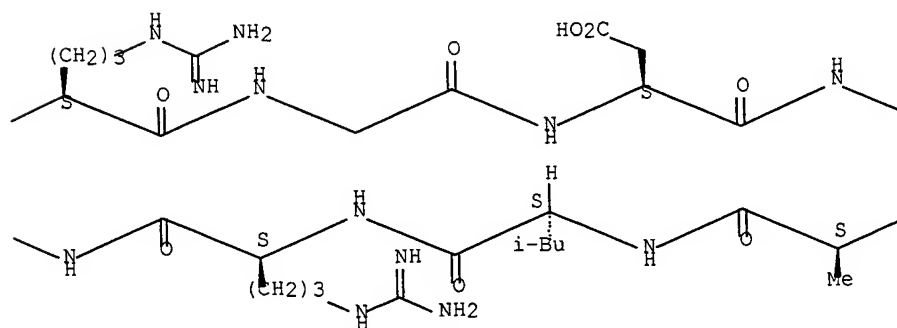
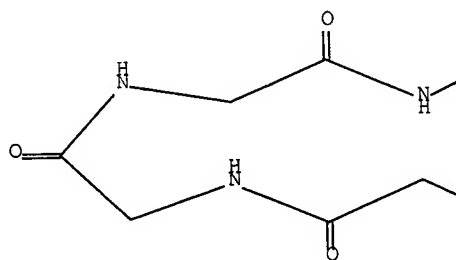
Absolute stereochemistry.

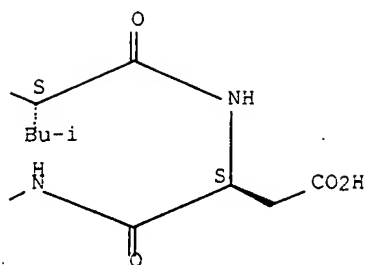




RN 317366-74-8 HCAPLUS
 CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L-
 α-aspartyl-L-leucyl-L-α-aspartyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

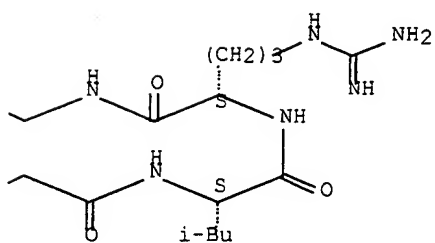
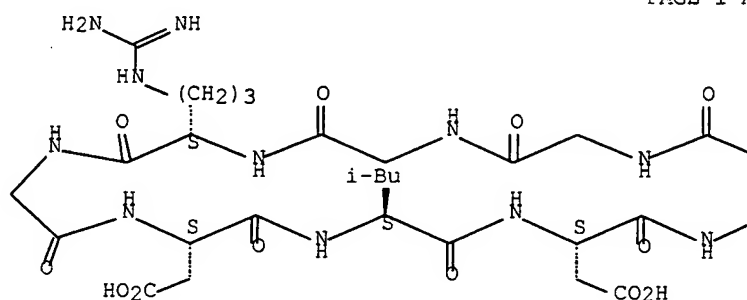




RN 317366-75-9 HCAPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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